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Expires 7-29-2014

The United States of America



The Commissioner of Patents and Trademarks

Has received an application for a patent for a new and useful invention. The title and description of the invention are enclosed. The requirements of law have been complied with, and it has been determined that a patent on the invention shall be granted under the law.

Therefore, this

United States Patent

Grants to the person(s) having title to this patent the right to exclude others from making, using, offering for sale, or selling the invention throughout the United States of America or importing the invention into the United States of America for the term set forth below, subject to the payment of maintenance fees as provided by law.

If this application was filed prior to June 8, 1995, the term of this patent is the longer of seventeen years from the date of grant of this patent or twenty years from the earliest effective U.S. filing date of the application, subject to any statutory extension.

If this application was filed on or after June 8, 1995, the term of this patent is twenty years from the U.S. filing date, subject to any statutory extension. If the application contains a specific reference to an earlier filed application or applications under 35 U.S.C. 120, 121 or 365(c), the term of the patent is twenty years from the date on which the earliest application was filed, subject to any statutory extension.

Bence Lehman

Commissioner of Patents and Trademarks

Pandra Morton

Attest



US005652245A

United States Patent [19]

Romero

[11] Patent Number: 5,652,245
[45] Date of Patent: Jul. 29, 1997

[54] **HETEROCYCLIC AMINES HAVING
CENTRAL NERVOUS SYSTEM ACTIVITY**

[75] Inventor: Arthur G. Romero, Kalamazoo, Mich.

[73] Assignee: Pharmacia & Upjohn Company,
Kalamazoo, Mich.

[21] Appl. No.: 592,328

[22] PCT Filed: Jun. 17, 1994

[86] PCT No.: PCT/US94/06648

§ 371 Date: Jan. 23, 1996

§ 102(e) Date: Jan. 23, 1996

[87] PCT Pub. No.: WO95/04056

PCT Pub. Date: Feb. 9, 1995

Related U.S. Application Data

[63] Continuation-in-part of Ser. No. 97,608, Jul. 27, 1993,
abandoned.

[51] Int. CL⁶ A61K 31/435; C07D 471/06

[52] U.S. Cl. 514/292; 514/233.2; 544/126;
546/86

[58] Field of Search 546/86; 544/126;
514/233.2, 292

[56] References Cited

U.S. PATENT DOCUMENTS

5,151,143 9/1992 Inaba et al. 514/292

Primary Examiner—Patricia L. Morris
Attorney, Agent, or Firm—Donald L. Corneglio

[57] ABSTRACT

Tricyclic nitrogen containing compounds, having anxiolytic and anti-depressant activity and central nervous system activity of the following structural formula:



and pharmaceutically acceptable salts thereof wherein R_1 and R_2 are independently hydrogen, C_{1-6} alkyl or R_1 and R_2 are joined to form pyrrolidine, piperidine, morpholine or imidazole. X is OCH_3 , SO_2R_3 , SO_2CF_3 or CN where R_3 is C_{1-6} alkyl or an Aryl; and Y is hydrogen, Cl, Br, F, CN, $CONR_1R_2$, CF_3 , OCH_3 , $SO_2NR_1R_2$. These new compounds are suitable for treating anxiolytic disorder, schizophrenia, Parkinson's disease, anxiety, depression or as compounds for lowering blood pressure or treating migraine headaches in patients in need of such treatment.

8 Claims, No Drawings